binding was defined by the addition of 5µl cold MCP-1 to give a final assay concentration of 100nM. Assay wells were made up to a final volume of 100µl with Whole Cell Binding Buffer and the plates sealed. Following incubation at 37°C for 60 minutes the binding reaction mixtures were filtered and washed for 10 seconds using ice cold Wash Buffer using a plate 5 washer (Brandel MLR-96T Cell Harvester). Filter mats (Brandel GF/B) were pre-soaked for 60 minutes in 0.3% polyethylenimine plus 0.2% BSA prior to use. Following filtration individual filters were separated into 3.5ml tubes (Sarstedt No. 55.484) and bound ¹²⁵I-labeled MCP-1 was determined (LKB 1277 Gammamaster).

Test compound potency was determined by assay in duplicate using six point 10° dose-response curves and IC_{sn} concentrations were determined.

Compound No. 13 in Table I showed 94% inhibition at 20µm.

No physiologically unacceptable toxicity was observed at the effective dose for compounds tested of the present invention.

15 Example 16

Pharmaceutical Compositions

The following Example illustrates, but is not intended to limit, pharmaceutical dosage forms of the invention as defined herein (the active ingredient being termed "Compound X"), for the appendix or prophylactic use in humans:

20 (a)

| Tablet I | mg/tablet |
|-----------------------------------|-----------|
| Compound X. | 100 |
| Lactose Ph.Eur | 182.75 |
| Croscarmellose sodium | 12.0 |
| Maize starch paste (5% w/v paste) | 2.25 |
| Magnesium stearate | 3.0 |
| (1.) | |

(b)

| Tablet II | mg/tablet |
|-----------------------|-----------|
| Compound X | 50 |
| Lactose Ph.Eur | 223.75 |
| Croscarmellose sodium | 6.0 |
| Maize starch | 15.0 |

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| Polyvinylpyrrolidone (5% w/v paste) | 2.25 | |
|-------------------------------------|------|--|
| Magnesium stearate | 3.0 | |

(c)

| Tablet III | mg/tablet |
|-----------------------------------|-----------|
| Compound X | 1.0 |
| Lactose Ph.Eur | 93.25 |
| Croscarmellose sodium | 4.0 |
| Maize starch paste (5% w/v paste) | 0.75 |
| Magnesium stearate | 1.0 |

(d)

| Capsule | mg/capsule |
|----------------|------------|
| Compound X | 10 |
| Lactose Ph.Eur | 488.5 |
| Magnesium | 1.5 |

(e)

| Injection I | (<u>50 mg/ml</u>) |
|------------------------------|---------------------|
| Compound X | 5.0% w/v |
| 1M Sodium hydroxide solution | 15.0% v/v |
| 0.1M Hydrochloric acid | to adjust pH to 7.6 |
| Polyethylene glycol 400 | 4.5% w/v |
| Water for injection | to 100% |

(f)

| Injection II | (10 mg/ml) |
|--------------------------------|------------|
| Compound X | 1.0% w/v |
| Sodium phosphate BP | 3.6% w/v |
| 0.1M Sodium hydroxide solution | 15.0% v/v |
| Water for injection | to 100% |

(g)

| Injection III | (1mg/ml, buffered to pH6) |
|-------------------------|---------------------------|
| Compound X | 0.1% w/v |
| Sodium phosphate BP | 2.26% w/v |
| Citric acid | 0.38% w/v |
| Polyethylene glycol 400 | 3.5% w/v |
| Water for injection | to 100% |

5 (h)

| Aerosol I | mg/ml |
|-------------------------|-------|
| Compound X | 10.0 |
| Sorbitan trioleate | 13.5 |
| Trichlorofluoromethane | 910.0 |
| Dichlorodifluoromethane | 490.0 |

(i)

| Aerosol II | mg/ml |
|---------------------------|--------|
| Compound X | 0.2 |
| Sorbitan trioleate | 0.27 |
| Trichlorofluoromethane | 70.0 |
| Dichlorodifluoromethane | 280.0 |
| Dichlorotetrafluoroethane | 1094.0 |

10 (j)

| Aerosol III | mg/ml |
|------------------------|-------|
| Compound X | 2.5 |
| Sorbitan trioleate | 3.38 |
| Trichlorofluoromethane | 67.5 |